STN Registry/Caplus Search Strategy

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAJMN1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUl 14	FSTA enhanced with Japanese patents
NEWS	14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS	18	SEP 11	CA/CAplus enhanced with more pre-1907 records

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:21:53 ON 13 SEP 2006

```
=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 16:22:03 ON 13 SEP 2006
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   12 SEP 2006  HIGHEST RN 906508-44-9
DICTIONARY FILE UPDATES:  12 SEP 2006  HIGHEST RN 906508-44-9

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10509795\2.str
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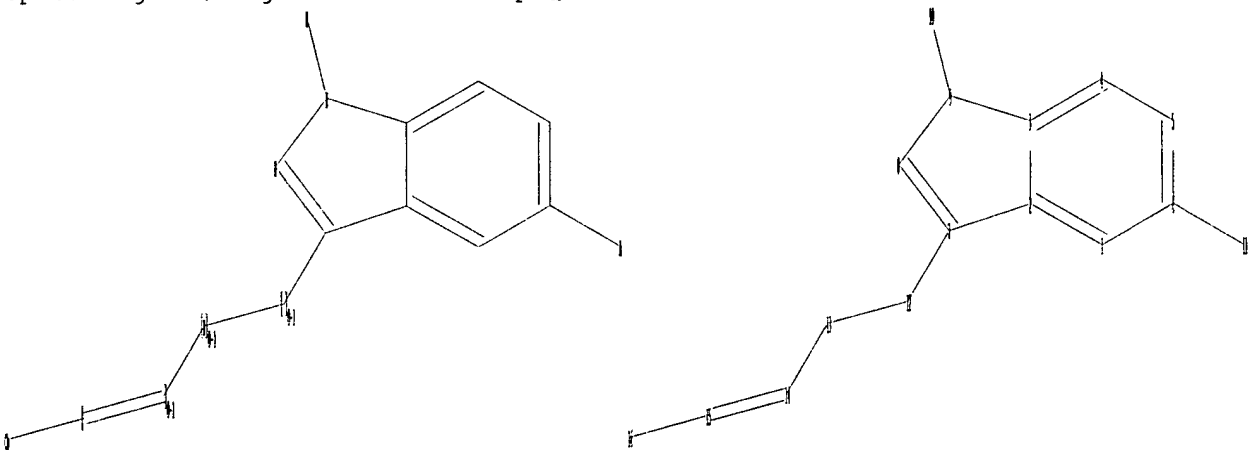

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chain nodes :
10  11  12  13  14  15  16
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
6-11  7-12  9-10  12-13  13-14  14-15  15-16
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-9  4-5  5-6  7-8  8-9
exact/norm bonds :
```

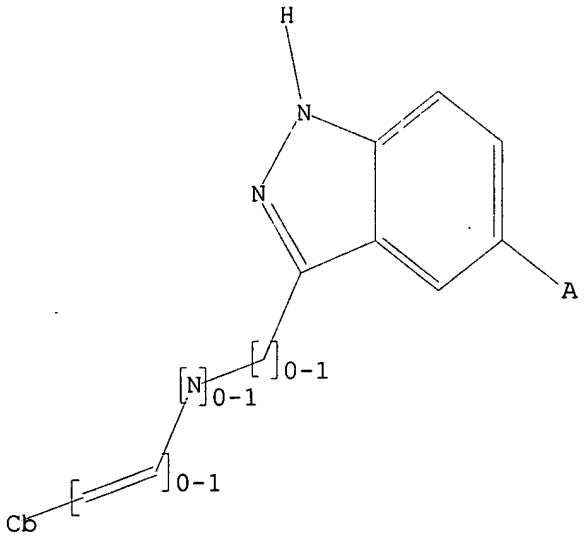
2-7 3-9 6-11 7-8 8-9 12-13 13-14
exact bonds :
7-12 9-10 14-15 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Hydrogen count :
12:>= minimum 0
Connectivity :
12:4 M minimum RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Any
Generic attributes :
16:
Saturation : Unsaturated

Element Count :
Node 16: Limited
C,C6-14

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:22:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 18267 TO ITERATE

10.9% PROCESSED 2000 ITERATIONS 8 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

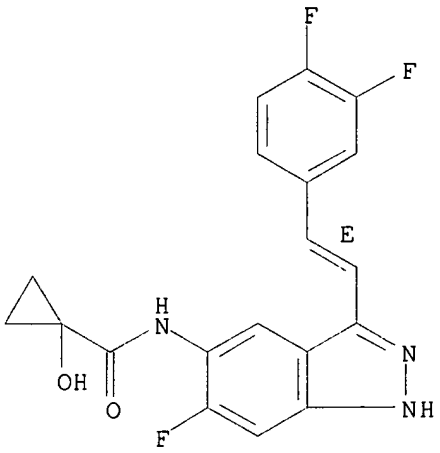
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 357248 TO 373432
PROJECTED ANSWERS: 949 TO 1973

L2 8 SEA SSS SAM L1

=> d scan

L2 8 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Cyclopropanecarboxamide, N-[3-[(1E)-2-(3,4-difluorophenyl)ethenyl]-6-fluoro-1H-indazol-5-yl]-1-hydroxy- (9CI)
MF C19 H14 F3 N3 O2

Double bond geometry as shown.

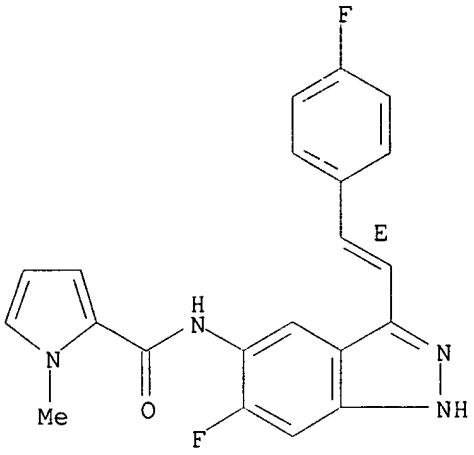


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 8 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 1H-Pyrrole-2-carboxamide, N-[6-fluoro-3-[(1E)-2-(4-fluorophenyl)ethenyl]-1H-indazol-5-yl]-1-methyl- (9CI)
MF C21 H16 F2 N4 O

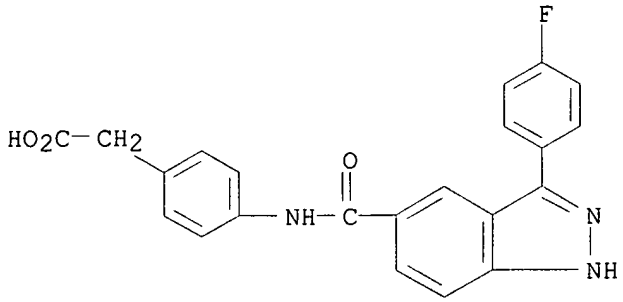
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 8 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Benzeneacetic acid, 4-[[[3-(4-fluorophenyl)-1H-indazol-5-yl]carbonyl]amino]- (9CI)
MF C22 H16 F N3 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 full
FULL SEARCH INITIATED 16:22:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 361743 TO ITERATE

100.0% PROCESSED 361743 ITERATIONS 1405 ANSWERS
SEARCH TIME: 00.00.03

L3 1405 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 167.38 167.59

FILE 'CAPLUS' ENTERED AT 16:23:12 ON 13 SEP 2006
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FILE COVERS 1907 - 13 Sep 2006 VOL 145 ISS 12
FILE LAST UPDATED: 12 Sep 2006 (20060912/ED)

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=> s l3
L4 64 L3

=> d ibib abs hitstr 1-64

Binch et al. 1/12

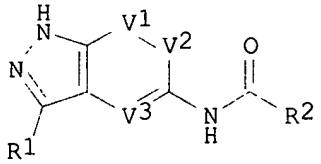
L4 ANSWER 20 OF 64 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:610427 CAPLUS <<LOGINID::20060913>>
DOCUMENT NUMBER: 139:164790
TITLE: Preparation of indazoles as protein kinase inhibitors
INVENTOR(S): Binch, Hayley; Brenchley, Guy; Golec, Julian M. C.; Knegtel, Ronald; Mortimore, Michael; Patel, Sanjay; Rutherford, Alistair
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064397	A1	20030807	WO 2003-US2096	20030123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473986	AA	20030807	CA 2003-2473986	20030123
US 2004009968	A1	20040115	US 2003-350806	20030123
US 7041687	B2	20060509		
EP 1467972	A1	20041020	EP 2003-708869	20030123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005524631	T2	20050818	JP 2003-564020	20030123
CN 1812973	A	20060802	CN 2003-805612	20030123
NO 2004003531	A	20041025	NO 2004-3531	20040824
JP 2006176530	A2	20060706	JP 2006-1918	20060106

102(e)

PRIORITY APPLN. INFO.:
US 2002-351597P P 20020125
JP 2003-564020 A3 20030123
WO 2003-US2096 W 20030123

OTHER SOURCE(S): MARPAT 139:164790
GI



I

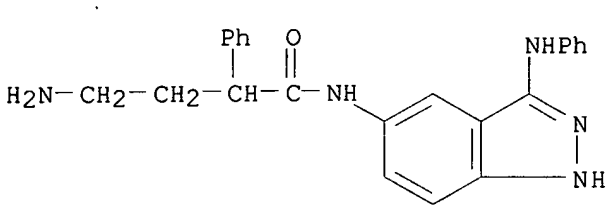
AB The title compds. [I; R1 = halo, CN, (un)substituted NH2, etc.; R2 = (CH2)2Ph, (un)substituted CH2Ph, CH2(1- or 2-naphthyl), etc.; V1-V3 = N, (un)substituted CH; with proviso] and pharmaceutically acceptable salts which are inhibitors of protein kinase, particularly inhibitors of AKT,

Binch 2/12

PKA, PDK1, p70S6K, or ROCK kinase, mammalian protein kinases involved in proliferative and neurodegenerative disorders, were prepared Thus, reacting 5-aminoindazole with 3-chlorophenylacetic acid in the presence of HOBt, EDC.HCl and N-methylmorpholine in DMF afforded 42% 2-(3-chlorophenyl)-N-(1H-indazol-5-yl)acetamide which showed Ki of < 1 μM against ROCK kinase.

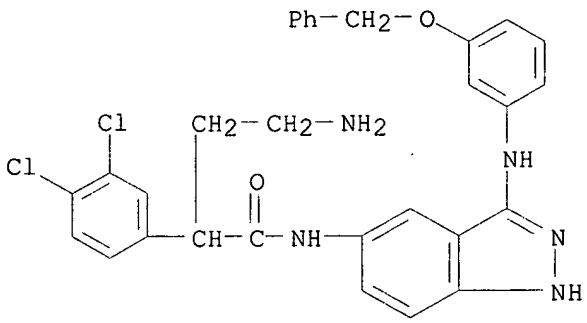
Birch 3/12

RN 574726-65-1 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-N-[3-(phenylamino)-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)

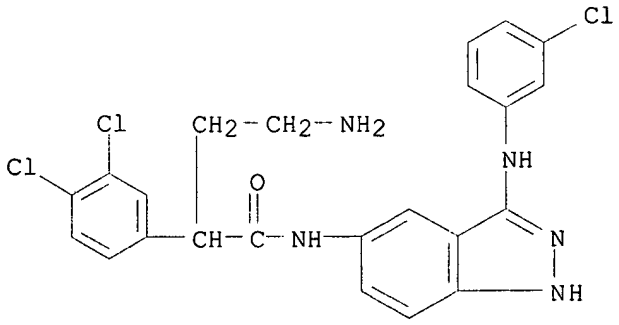


R^1 = N(H)-Ph (sub or unsub)
h: 0 j: 1 k: 0
R^a = H
Ar: C_6 aryl

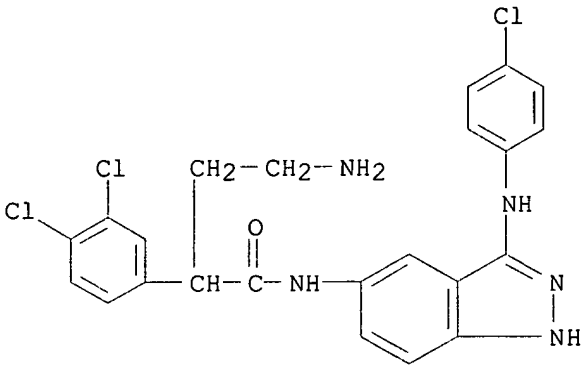
RN 574727-05-2 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3,4-dichloro-N-[3-[[3-(phenylmethoxy)phenyl]amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



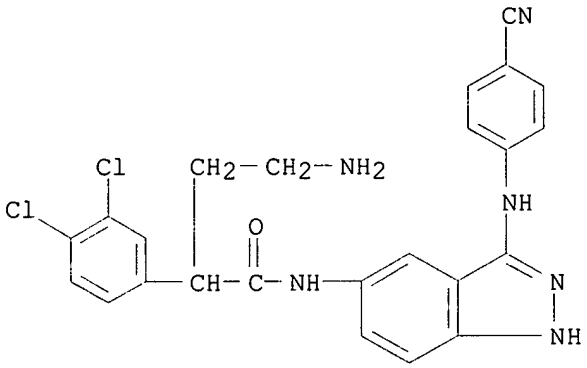
RN 574727-06-3 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3,4-dichloro-N-[3-[(3-chlorophenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



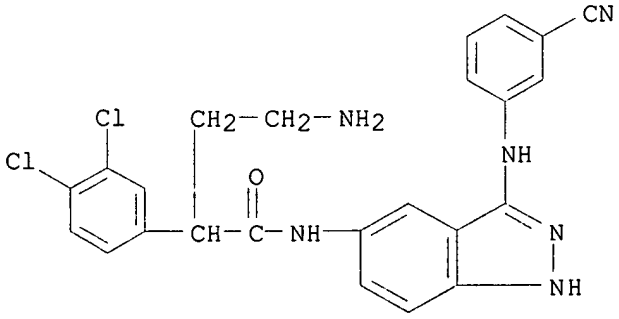
RN 574727-07-4 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3,4-dichloro-N-[3-[(4-chlorophenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



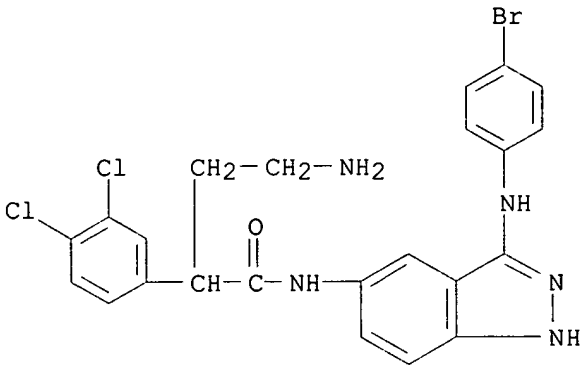
RN 574727-08-5 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3,4-dichloro-N-[3-[(4-cyanophenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



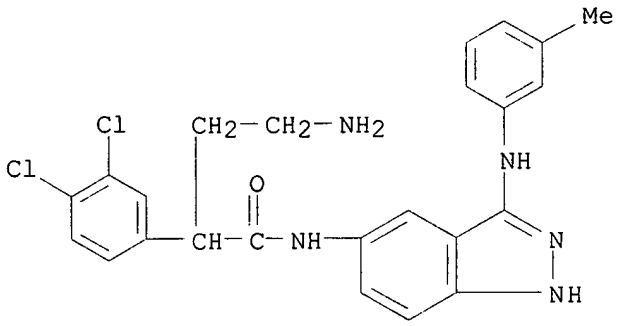
RN 574727-09-6 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3,4-dichloro-N-[3-[(3-cyanophenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



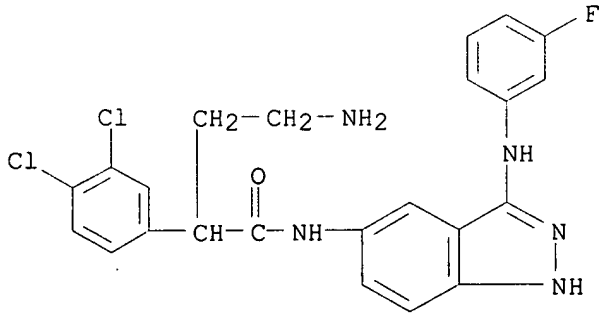
RN 574727-10-9 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-N-[3-[(4-bromophenyl)amino]-1H-indazol-5-yl]-3,4-dichloro- (9CI) (CA INDEX NAME)



RN 574727-66-5 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3,4-dichloro-N-[3-[(3-methylphenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)

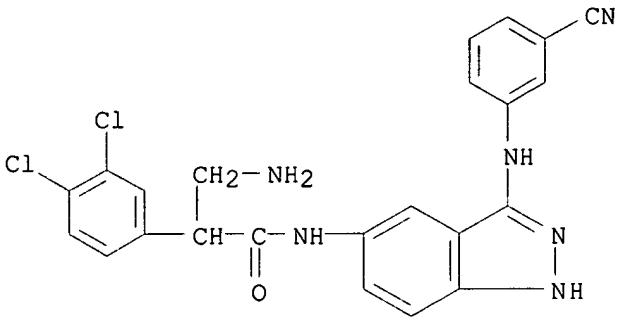


RN 574727-67-6 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3,4-dichloro-N-[3-[(3-fluorophenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



Binch 6/12

RN 574727-87-0 CAPLUS
CN Benzeneacetamide, α-(aminomethyl)-3,4-dichloro-N-[3-[(3-cyanophenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



Binch 7/12

RN 574728-77-1 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-N-[3-[(3-chlorophenyl)amino]-1H-indazol-5-yl]-3-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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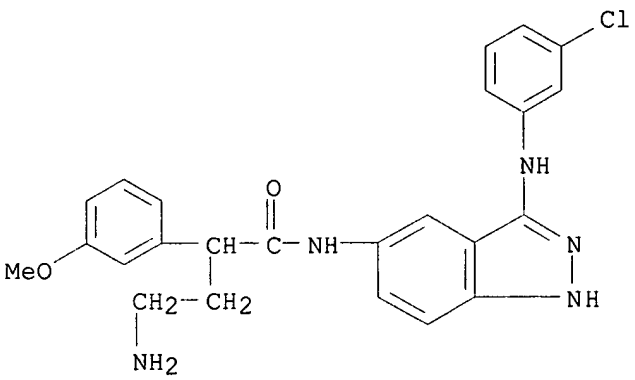
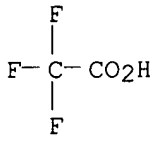
CRN 574728-76-0
CMF C24 H24 Cl N5 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

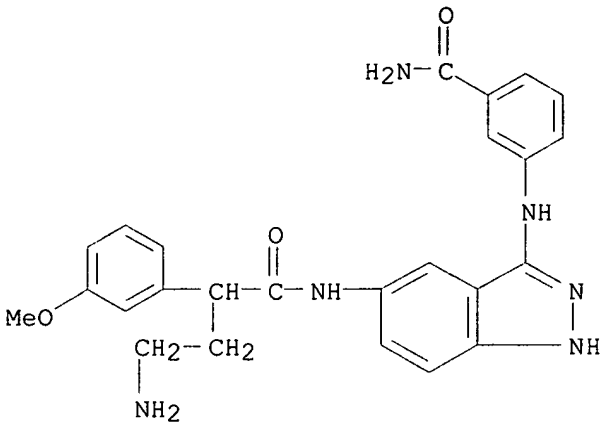


RN 574728-79-3 CAPLUS
CN Benzeneacetamide, N-[3-[[3-(aminocarbonyl)phenyl]amino]-1H-indazol-5-yl]-α-(2-aminoethyl)-3-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

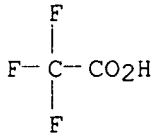
CRN 574728-78-2
CMF C25 H26 N6 O3

Binch 8/12



CM 2

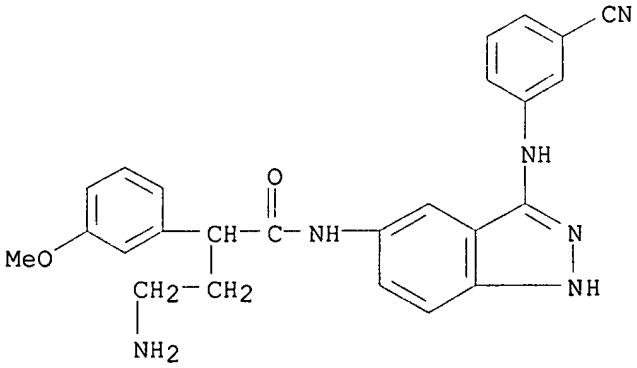
CRN 76-05-1
CMF C2 H F3 O2



RN 574728-81-7 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-N-[3-[(3-cyanophenyl)amino]-1H-indazol-5-yl]-3-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

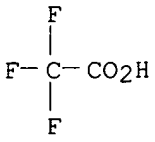
CM 1

CRN 574728-80-6
CMF C25 H24 N6 O2

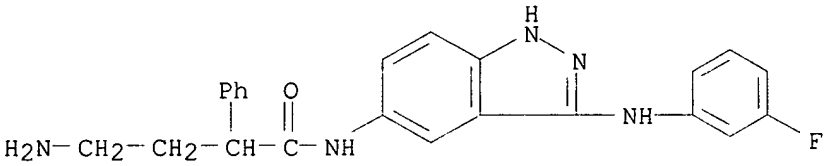


CM 2

CRN 76-05-1
CMF C2 H F3 O2

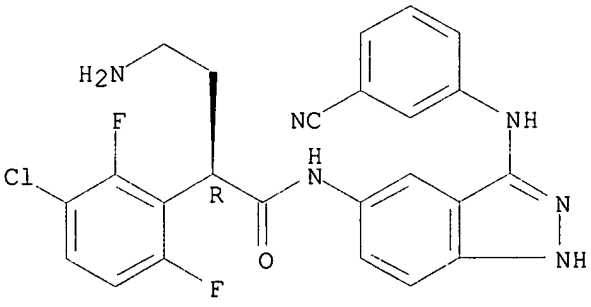


RN 574728-88-4 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-N-[3-[(3-fluorophenyl)amino]-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)



RN 574728-96-4 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3-chloro-N-[3-[(3-cyanophenyl)amino]-1H-indazol-5-yl]-2,6-difluoro-, (αR)- (9CI) (CA INDEX NAME)

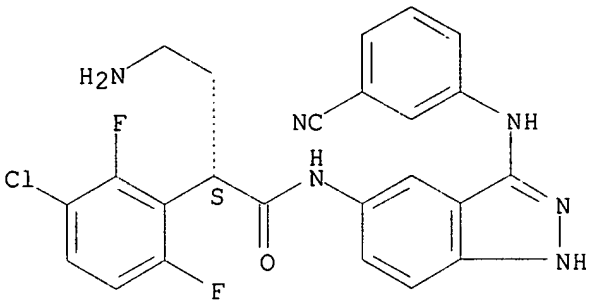
Absolute stereochemistry.



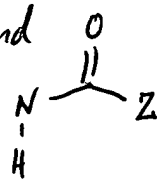
RN 574728-97-5 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-3-chloro-N-[3-[(3-cyanophenyl)amino]-1H-indazol-5-yl]-2,6-difluoro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Bind 10/12



L = bond

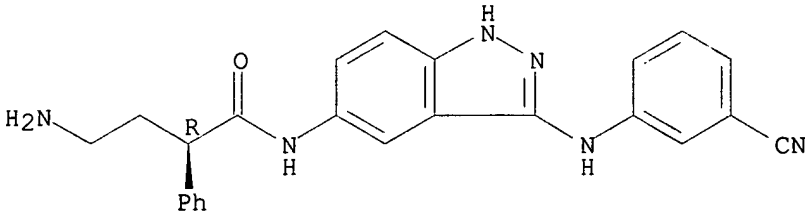
X = 

Z = optionally subst. C_{1-6} Alkylene group

Bjnch 11/12

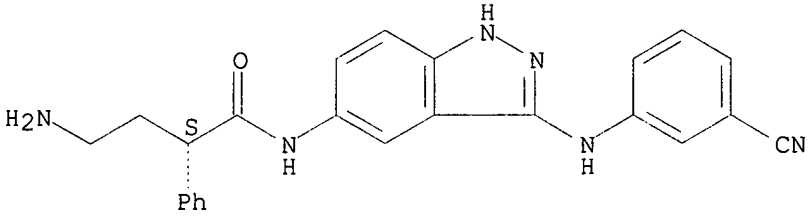
RN 574729-03-6 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-N-[3-[(3-cyanophenyl)amino]-1H-indazol-5-yl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 574729-04-7 CAPLUS
CN Benzeneacetamide, α-(2-aminoethyl)-N-[3-[(3-cyanophenyl)amino]-1H-indazol-5-yl]-, (αS)- (9CI) (CA INDEX NAME)

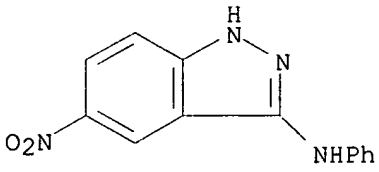
Absolute stereochemistry.



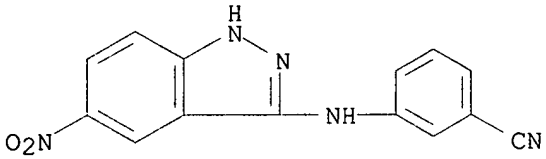
Birch 12/12

RN 574729-29-6 CAPLUS
CN 1H-Indazol-3-amine, 5-nitro-N-phenyl- (9CI) (CA INDEX NAME)

L = bond
X = bond
Y = Nitro



RN 574729-31-0 CAPLUS
CN Benzonitrile, 3-[(5-nitro-1H-indazol-3-yl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 64 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:107318 CAPLUS <<LOGINID::20060913>>
DOCUMENT NUMBER: 136:151163
TITLE: Preparation of indazole derivatives as JNK enzyme inhibitors
INVENTOR(S): Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010137	A2	20020207	WO 2001-US23890	20010730
WO 2002010137	C2	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417650	AA	20020207	CA 2001-2417650	20010730
EP 1313711	A2	20030528	EP 2001-957332	20010730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513882	T2	20040513	JP 2002-516269	20010730
NZ 524045	A	20040730	NZ 2001-524045	20010730
ZA 2003000886	A	20050309	ZA 2003-886	20030131
PRIORITY APPLN. INFO.:			US 2000-221799P P	20000731
			WO 2001-US23890 W	20010730

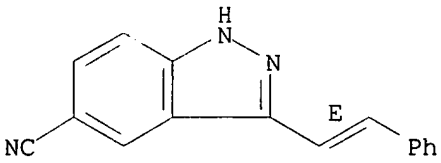
OTHER SOURCE(S): MARPAT 136:151163

AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl,

arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. containing one or more compds. of the above compds. Many of the claimed compds. have IC50 values ≤0.5 μM in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of preparation are not claimed, >400 example prepns. are included.

RN 395102-15-5 CAPLUS
CN 1H-Indazole-5-carbonitrile, 3-[(1E)-2-phenylethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



Reich et al p1/2

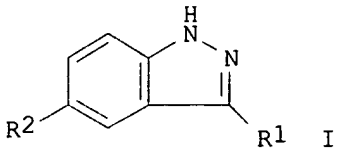
L4 ANSWER 26 OF 64 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:545668 CAPLUS <<LOGINID::20060913>>
DOCUMENT NUMBER: 135:137505
TITLE: Synthesis of disubstituted indazole compounds as cyclin dependent kinase inhibitors and methods for inhibiting cell proliferation
INVENTOR(S): Reich, Siegfried Heinz; Bleckman, Ted Michael; Kephart, Susan Elizabeth; Romines, William Henry, III; Wallace, Michael B.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053268	A2	20010726	WO 2001-US1477	20010118
WO 2001053268	A3	20011227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2388885	AA	20010726	CA 2001-2388885	20010118
EP 1250326	A2	20021023	EP 2001-942620	20010118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002161022	A1	20021031	US 2001-761656	20010118
US 6555539	B2	20030429		
BR 2001007783	A	20021119	BR 2001-7783	20010118
JP 2003520273	T2	20030702	JP 2001-553270	20010118
EE 200200398	A	20031015	EE 2002-398	20010118
NZ 518531	A	20040924	NZ 2001-518531	20010118
ZA 2002003040	A	20030811	ZA 2002-3040	20020417
NO 2002002117	A	20020916	NO 2002-2117	20020503
BG 107011	A	20030430	BG 2002-107011	20020816
US 2003139463	A1	20030724	US 2002-291158	20021108
US 6919461	B2	20050719		
US 2005239855	A1	20051027	US 2005-112423	20050422
US 2006111322	A1	20060525	US 2006-329303	20060110

PRIORITY APPLN. INFO.:

US 2000-176484P	P	20000118
US 2001-761656	A3	20010118
WO 2001-US1477	W	20010118
US 2002-291158	A3	20021108
US 2005-112423	A3	20050422

OTHER SOURCE(S): MARPAT 135:137505
GI



I

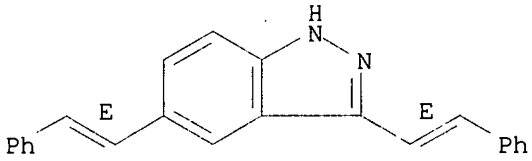
AB Title compds. I [R1 = alkyl, aryl, heteroaryl, carbocycle, heterocycle, etc.; R2 = alkyl, aryl, heteroaryl, carbocycle, heterocycle, etc.] were prepared Examples include over 90 synthetic examples and 8 bioassays. For instance, 5-amino-1H-indazole was converted to 5-chloro-3-iodo-1H-indazole by diazotization/chlorination (NaNO2, HCl, 0°C/CuCl, 60°C) followed by iodination (I2, NaOHaq). Protection as the N-SEM derivative and sequential Suzuki coupling with (E)-β-styreneboronic acid to the 3 position and phenylboronic acid to the 5-position yielded N-SEM derivative I (R1 = (E)-β-styrenyl; R2 = Ph). Deprotection with 3M HCl in EtOH at reflux afforded I (R1 = (E)-β-styrenyl; R2 = Ph; II). II had Ki = 1.7 μM for cdk4/cyclin D3 complex and Ki = 6.7 μM for chk1 protein kinase. Selected examples of I were also assayed for cytotoxicity (HCT 116 cell line, 69 examples). The invention is also directed to methods of treating cancer and disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis.

IT 351454-61-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of disubstituted indazole compds. as cyclin dependent kinase inhibitors and methods for inhibiting cell proliferation)

RN 351454-61-0 CAPLUS

CN 1H-Indazole, 3,5-bis[(1E)-2-phenylethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



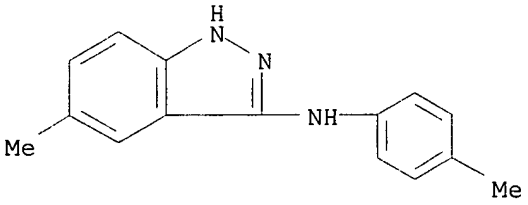
IT 293758-67-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of disubstituted indazole compds. as cyclin dependent kinase inhibitors and methods for inhibiting cell proliferation)

RN 293758-67-5 CAPLUS

CN 1H-Indazole, 5-nitro-3-phenyl- (9CI) (CA INDEX NAME)

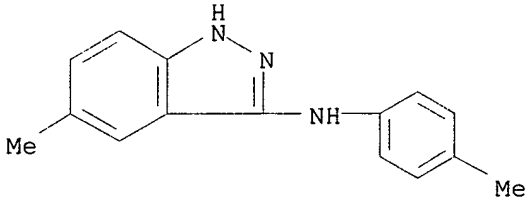
Partridge et al. p. 1/1

L4 ANSWER 62 OF 64 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:3105 CAPLUS <<LOGINID::20060913>>
DOCUMENT NUMBER: 62:3105
ORIGINAL REFERENCE NO.: 62:561d-e
TITLE: Cyclic Amidines. XVII. 4-Imino-1,2,3-benzotriazines
AUTHOR(S): Partridge, M. W.; Stevens, M. F. G.
CORPORATE SOURCE: Univ. Nottingham, UK
SOURCE: Journal of the Chemical Society (1964), (Oct.), 3663-9
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. CA 59, 5170e. 4-Imino-1,2,3-benzotriazines (I) afford, on reduction, 3-aminoindazoles (e.g. II) and on decomposition in acid, 6-aminophenan-thridines. The reactions of o-cyanophenyltriazenes were studied.
IT 840-12-0, 1H-Indazole, 5-methyl-3-p-toluidino-
(preparation of)
RN 840-12-0 CAPLUS
CN 1H-Indazol-3-amine, 5-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

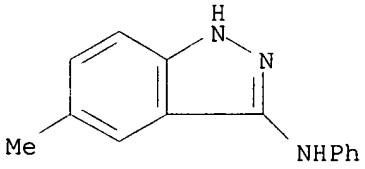


Burmistrov et al. p1/2

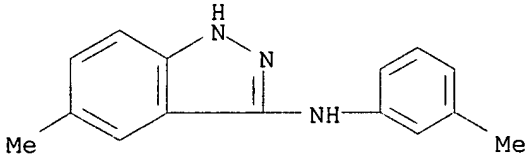
L4 ANSWER 56 OF 64 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:136158 CAPLUS <<LOGINID::20060913>>
DOCUMENT NUMBER: 78:136158
TITLE: Synthesis of 3-arylaminoindazoles
AUTHOR(S): Burmistrov, S. I.; Belykh, V. S.
CORPORATE SOURCE: Dnepropetr. Khim.-Tekhnol. Inst. im. Dzerzhinskogo, Dnepropetrovsk, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1973), (2), 249-51
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The title compds. (I; R = H,ME,Cl,Br; R1 = 6-Me, 7-Cl, R2 = H, p-Me, p-Cl) were prepared in 91-8% yields by treatment of the 3-chloro- or 3-bromoindazole with the appropriate aromatic amine hydrohalide.
IT 840-12-0P 40598-62-7P 40598-65-0P
40598-67-2P 40598-68-3P 40598-69-4P
40598-70-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 840-12-0 CAPLUS
CN 1H-Indazol-3-amine, 5-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



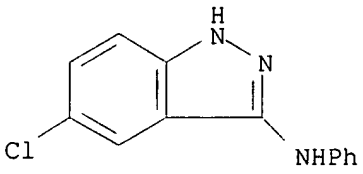
RN 40598-62-7 CAPLUS
CN 1H-Indazol-3-amine, 5-methyl-N-phenyl- (9CI) (CA INDEX NAME)



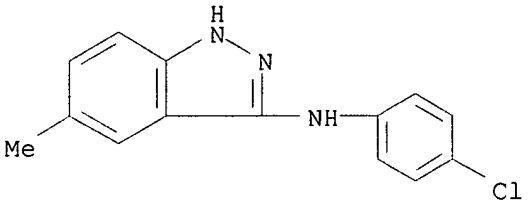
RN 40598-65-0 CAPLUS
CN 1H-Indazol-3-amine, 5-methyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



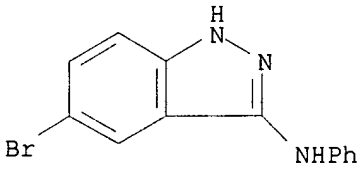
RN 40598-67-2 CAPLUS
CN 1H-Indazol-3-amine, 5-chloro-N-phenyl- (9CI) (CA INDEX NAME)



RN 40598-68-3 CAPLUS
CN 1H-Indazol-3-amine, N-(4-chlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 40598-69-4 CAPLUS
CN 1H-Indazol-3-amine, 5-bromo-N-phenyl- (9CI) (CA INDEX NAME)



RN 40598-70-7 CAPLUS
CN 1H-Indazol-3-amine, 5,7-dichloro-N-phenyl- (9CI) (CA INDEX NAME)